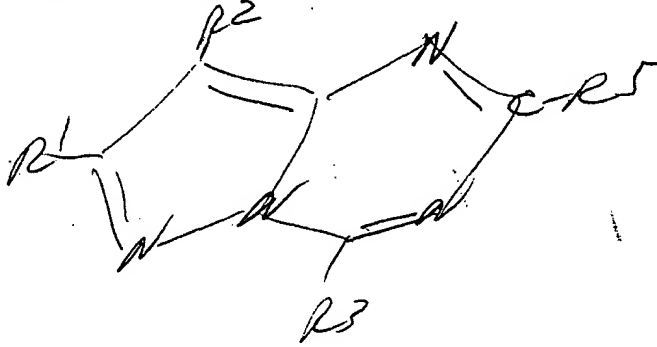


68061 CM I 4209  
**SEARCH REQUEST FORM** → 4ER

Requestor's Name: Jim Ford Serial Number: 09/99013A  
 Date: 6-4-80 Phone: 3084721 Art Unit: 1624

**Search Topic:**

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



22 min

POINT OF CONTACT:  
 PAUL SCHULWITZ  
 TECHNICAL INFO. SPECIALIST  
 CM1 6806 TEL. (703) 305-1954

RECEIVED  
 JUN 4 1980  
 (316)

**STAFF USE ONLY**

Date completed: Paul Schulwitz  
 Searcher: Paul Schulwitz  
 Terminal time: \_\_\_\_\_  
 Elapsed time: 60  
 CPU time: \_\_\_\_\_  
 Total time: \_\_\_\_\_  
 Number of Searches: \_\_\_\_\_  
 Number of Databases: \_\_\_\_\_

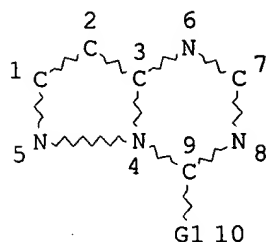
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/ Structure  
 \_\_\_\_\_ Bibliographic

Vendors  
 \_\_\_\_\_ IG Suite  
/ STN  
 \_\_\_\_\_ Dialog  
 \_\_\_\_\_ APS  
 \_\_\_\_\_ Geninfo  
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 \_\_\_\_\_ DARC/Questel  
 \_\_\_\_\_ Other

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Cb @13

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VAR G1=11/12/13/14/15/16/17/18/19/20/21/22/23/24/25/26/27/28/29/30

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

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GGCAT IS PCY AT 12

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GGCAT IS MCY AT 14

GGCAT IS MCY AT 15

GGCAT IS MCY AT 16

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ECOUNT IS E9 C AT 13

ECOUNT IS E5 C E1 N AT 14

ECOUNT IS E4 C E2 N AT 15

ECOUNT IS E3 C E3 N AT 16

ECOUNT IS E4 C E1 O AT 17

ECOUNT IS E9 C E1 N AT 18

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## GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 30

## STEREO ATTRIBUTES: NONE

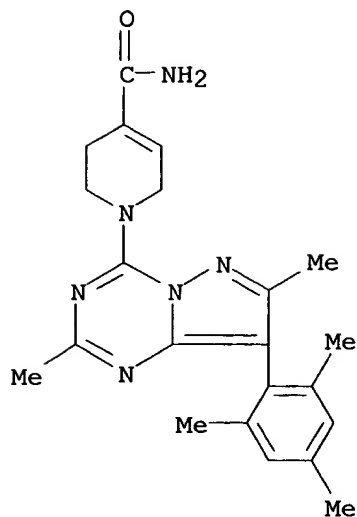
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L4 76 SEA FILE=REGISTRY SUB=L2 SSS FUL L1

L7 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L4

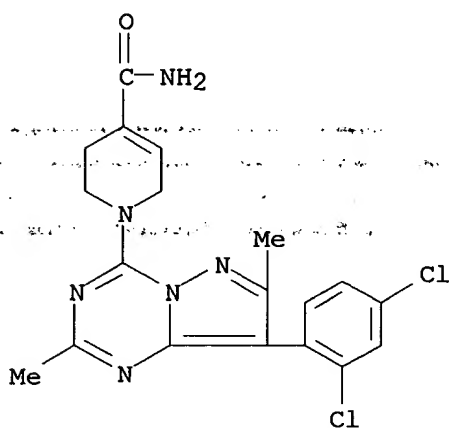
L7 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
 AN 2002:31438 HCAPLUS  
 DN 136:102370  
 TI Preparation of tetrahydropyridine or piperidine heterocyclic derivatives  
 and their affinity for CRF receptors  
 IN Nakazato, Atsuro; Kumagai, Toshihito; Okubo, Taketoshi; Kameo, Kazuya  
 PA Taisho Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 91 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002549	A1	20020110	WO 2001-JP5806	20010704
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	JP 2000-204021	A	20000705		
	JP 2000-270535	A	20000906		
OS	MARPAT 136:102370				
AB	Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity for CRF receptors were prepd. E.g., 5-(4-carbamoyl-1,2,3,6-tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole was prepd. by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole hydrochloride, followed by reaction with 5-carbamoyl-1,2,3,6-tetrahydropyridine hydrochloride.				
IT	388122-82-5P 388122-84-7P 388122-86-9P 388122-88-1P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of tetrahydropyridine or piperidine heterocyclic derivs. and their affinity for CRF receptors)				
RN	388122-82-5 HCAPLUS				
CN	4-Pyridinecarboxamide, 1-[2,7-dimethyl-8-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]-1,3,5-triazin-4-yl]-1,2,3,6-tetrahydro-(9CI) (CA INDEX NAME)				



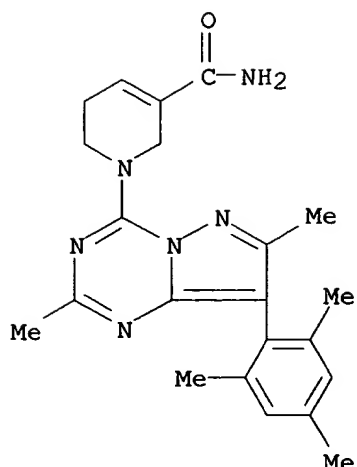
RN 388122-84-7 HCAPLUS

CN 4-Pyridinecarboxamide, 1-[8-(2,4-dichlorophenyl)-2,7-dimethylpyrazolo[1,5-a]-1,3,5-triazin-4-yl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



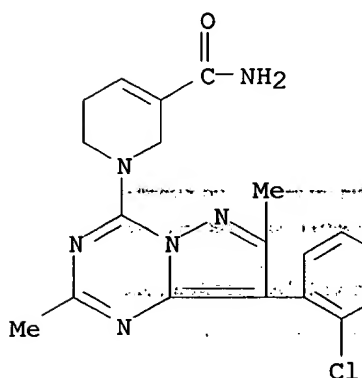
RN 388122-86-9 HCAPLUS

CN 3-Pyridinecarboxamide, 1-[2,7-dimethyl-8-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]-1,3,5-triazin-4-yl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 388122-88-1 HCAPLUS

CN 3-Pyridinecarboxamide, 1-[8-(2,4-dichlorophenyl)-2,7-dimethylpyrazolo[1,5-a]-1,3,5-triazin-4-yl]-1,2,5,6-tetrahydro- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 2001:813420 HCAPLUS

DN 135:344507

TI Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

IN He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios

PA Dupont Pharmaceuticals Company, USA

SO U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM

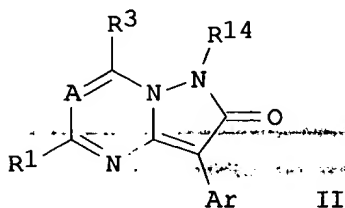
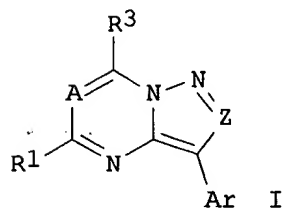
DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6313124	B1	20011106	US 1998-14734	19980128
	US 6124289	A	20000926	US 1997-899242	19970723

ZA 9706603	A	19990125	ZA 1997-6603	19970724
US 6136809	A	20001024	US 1998-14999	19980128
LT 4680	B	20000725	LT 1999-8	19990125
CA 2314613	AA	19990805	CA 1999-2314613	19990128
WO 9938868	A1	19990805	WO 1999-US1824	19990128
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9924787	A1	19990816	AU 1999-24787	19990128
EP 1049699	A1	20001108	EP 1999-904382	19990128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9908206	A	20001205	BR 1999-8206	19990128
JP 2002501922	T2	20020122	JP 2000-529335	19990128
PRAI US 1996-23290P	P	19960724		
US 1997-899242	A2	19970723		
US 1998-14734	A	19980128		
US 1998-15001	A	19980128		
US 1998-15002	A	19980128		
WO 1999-US1824	W	19990128		
OS MARPAT 135:344507				
GI				



AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, alkyl, alkenyl, etc.; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = Cl-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity assocd. with psychopathol. disturbance and stress, were prepd. and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl) [1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl3 and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl) [1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-Cl2C6H3].

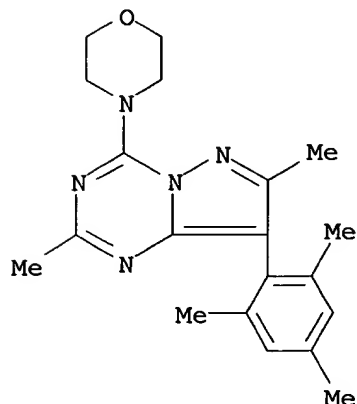
IT 202579-01-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists)

RN 202579-01-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2,7-dimethyl-4-(4-morpholinyl)-8-(2,4,6-

trimethylphenyl)- (9CI) (CA INDEX NAME)



RE.CNT 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 2001:131201 HCAPLUS

DN 134:178572

TI Preparation of azolo triazines and pyrimidines as corticotropin releasing factor (CRF) antagonists

IN He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios

PA Dupont Pharmaceuticals Co., USA

SO U.S., 90 pp., Cont.-in-part of U. S. Ser. No. 899,242.

CODEN: USXXAM

DT Patent

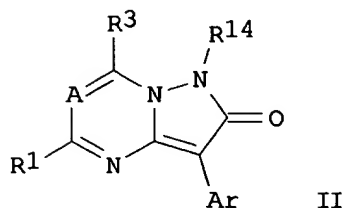
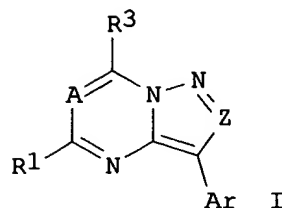
LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6191131	B1	20010220	US 1998-15002	19980128
	US 6124289	A	20000926	US 1997-899242	19970723
	ZA 9706603	A	19990125	ZA 1997-6603	19970724
	US 6136809	A	20001024	US 1998-14999	19980128
	LT 4680	B	20000725	LT 1999-8	19990125
	CA 2314613	AA	19990805	CA 1999-2314613	19990128
	WO 9938868	A1	19990805	WO 1999-US1824	19990128
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9924787	A1	19990816	AU 1999-24787	19990128
	EP 1049699	A1	20001108	EP 1999-904382	19990128
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9908206	A	20001205	BR 1999-8206	19990128
	JP 2002501922	T2	20020122	JP 2000-529335	19990128
	US 6358950	B1	20020319	US 2000-696759	20001026
PRAI	US 1996-23290P	P	19960724		
	US 1997-899242	A2	19970723		
	US 1998-14734	A	19980128		



US 1998-15001 A 19980128  
 US 1998-15002 A 19980128  
 WO 1999-US1824 W 19990128  
 OS MARPAT 134:178572  
 GI

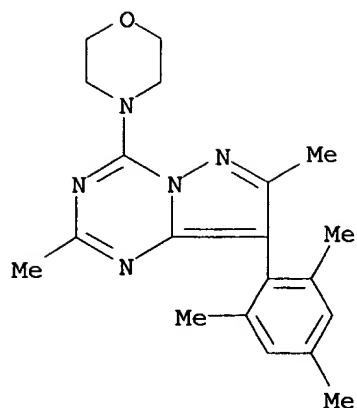


AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, alk(en/yn)yl, halo, etc.; R1, R2 = H, alk(en/yn)yl, halo, etc.; R3 = H, SH, aryl, etc.; R14 = (un)substituted alk(en/yn)yl, cycloalkyl(alkyl)], useful in treating CRF-related disorders, particularly anxiety, depression, and other psychiatric, neurol. disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity assocd. with psychopathol. disturbance and stress, were prepd. and formulated. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-2,5-dimethyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl3 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compd. I [A = CH; R1 = Me; R3 = NHCHEt2; Z = CMe; Ar = 2-Cl-4-MeC6H3]. The compds. I are effective at 0.002-200 mg/kg/day.

IT 202579-01-9P 234772-66-8P 234772-86-2P  
 234773-09-2P 234773-44-5P 234773-78-5P  
 234774-00-6P 234774-23-3P 234774-45-9P  
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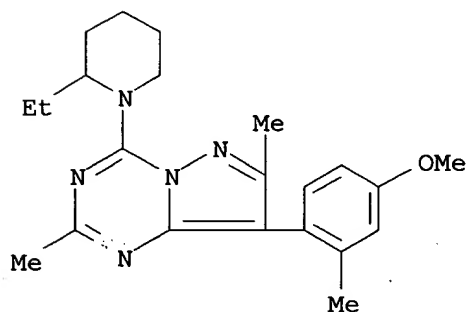
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of azolo-fused triazines and pyrimidines as CRF antagonists)

RN 202579-01-9 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 2,7-dimethyl-4-(4-morpholinyl)-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



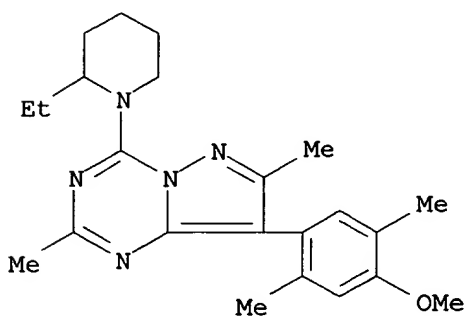
RN 234772-66-8 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-2-methylphenyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



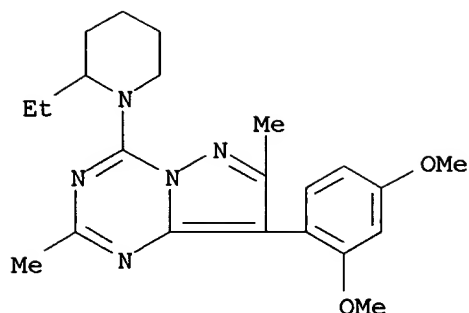
RN 234772-86-2 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-2,5-dimethylphenyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



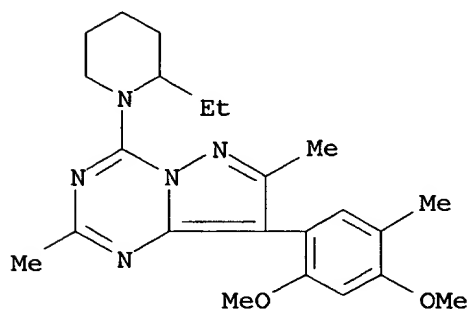
RN 234773-09-2 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,4-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



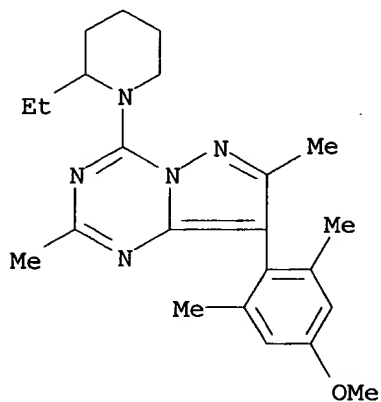
RN 234773-44-5 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,4-dimethoxy-5-methylphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



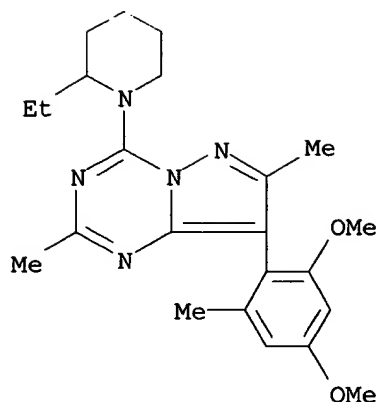
RN 234773-78-5 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-2,6-dimethylphenyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



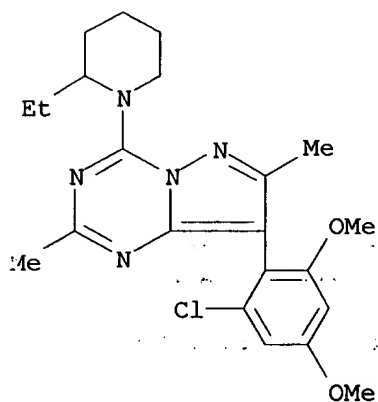
RN 234774-00-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,4-dimethoxy-6-methylphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



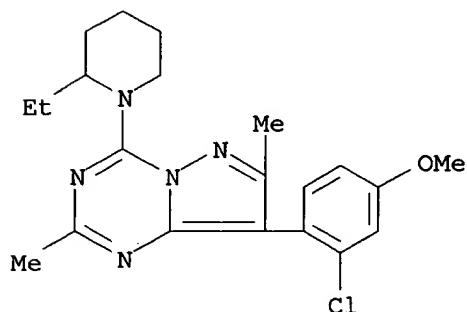
RN 234774-23-3 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-4,6-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



RN 234774-45-9 HCAPLUS

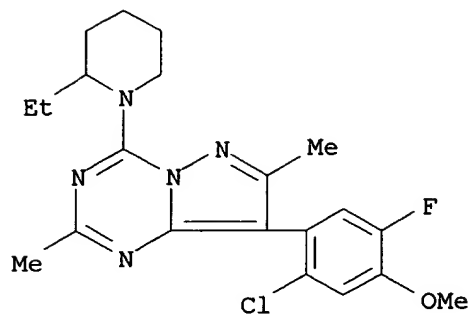
CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-4-methoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



RN 234774-76-6 HCAPLUS

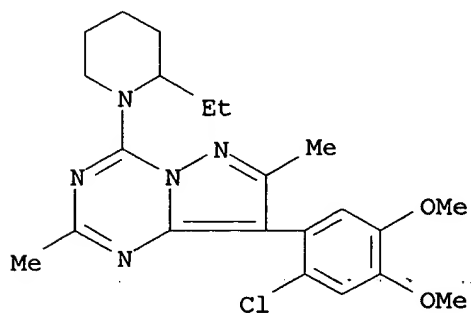
CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-5-fluoro-4-methoxyphenyl)-4-(2-

ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



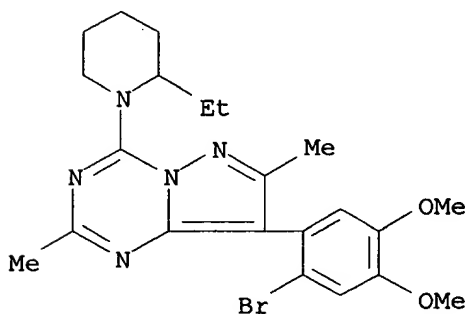
RN 234775-05-4 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-4,5-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



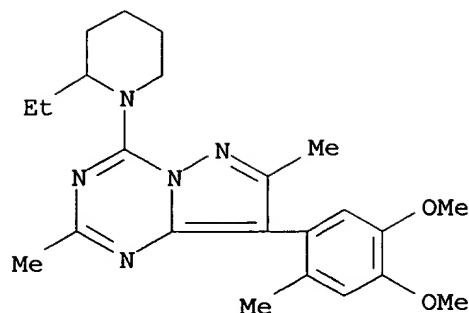
RN 234775-25-8 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-bromo-4,5-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)

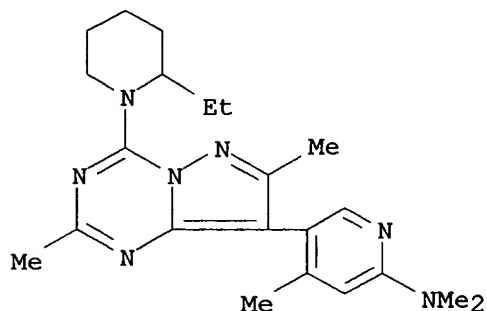


RN 234775-46-3 HCAPLUS

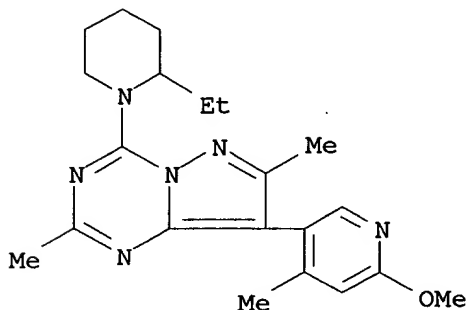
CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(4,5-dimethoxy-2-methylphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



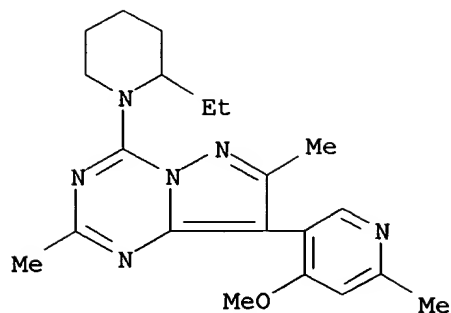
RN 234775-66-7 HCAPLUS  
 CN 2-Pyridinamine, 5-[4-(2-ethyl-1-piperidinyl)-2,7-dimethylpyrazolo[1,5-a]-1,3,5-triazin-8-yl]-N,N,4-trimethyl- (9CI) (CA INDEX NAME)



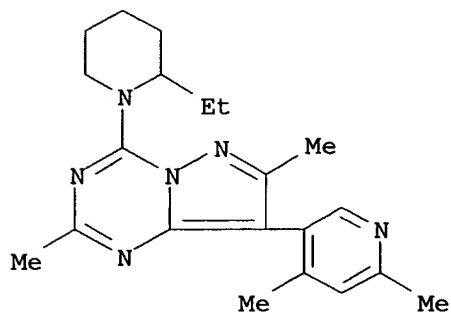
RN 234775-86-1 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(6-methoxy-4-methyl-3-pyridinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



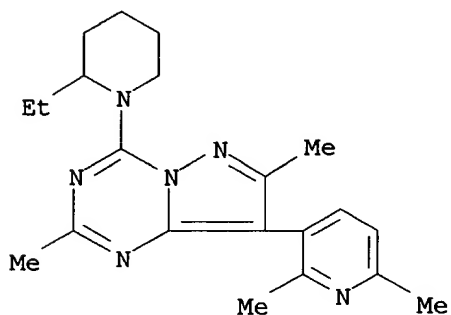
RN 234776-07-9 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-6-methyl-3-pyridinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



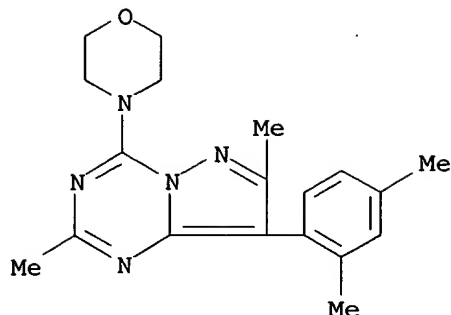
RN 234776-27-3 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(4,6-dimethyl-3-pyridinyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



RN 234776-48-8 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,6-dimethyl-3-pyridinyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



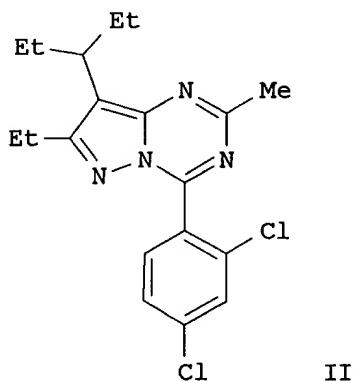
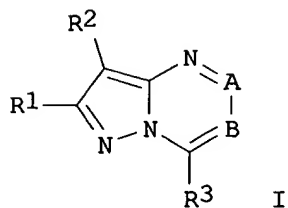
RN 326821-86-7 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,4-dimethylphenyl)-2,7-dimethyl-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RE.CNT 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
AN 2000:725633 HCAPLUS  
DN 133:296452  
TI Preparation of pyrazolotriazines as CRF antagonists  
IN Gilligan, Paul J.; Wilde, Richard G.  
PA Du Pont Pharmaceuticals Company, USA  
SO PCT Int. Appl., 114 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059907	A2	20001012	WO 2000-US9109	20000406
	WO 2000059907	A3	20010104		
	W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	US 1999-128008P	P	19990406		
OS	MARPAT 133:296452				
GI					





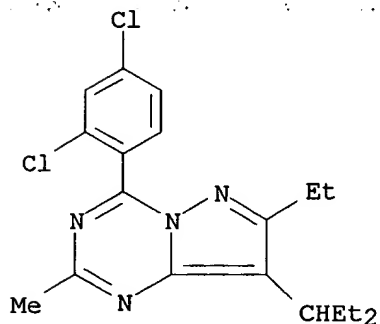
AB The title compds. I (R1 = H, OH, halo, CN, alkyl, alkenyl, alkynyl, cycloalkyl, alkyloxy, sulfur derivs., amino derivs., carboxamido derivs., etc.; R2 = H, SH, NO, NO2, halo, CN, sulfur derivs., amino derivs., alkyl, alkenyl, etc.; R3 = aryl or heteroaryl attached through an unsatd. carbon atom {aryl selected from (un)substituted Ph, naphthyl, indanyl or indenyl [0-5 substituents selected from alkyl, cycloalkyl, methylenedioxy, alkyloxy, halo, amino deriv., etc]; heteroaryl selected from (un)substituted pyridyl, pyrimidyl, triazinyl, furanyl, thienyl, etc. [0-4 substituents selected from alkyl, cycloalkyl, halo, haloalkyl, CN, SH, etc.]}) A = N or CR5; B = N or CR4 {provided A .noteq. B, and both A and B .noteq. CRn}; R4 or R5 = H, halo, CN, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, sulfur derivs., amino derivs., etc.; with specific exclusions), and stereoisomers, isomers and salts thereof are prepd. and disclosed as CRF antagonists (no data). Thus, pyrazolotriazine II was prepd. via a cyclocondensation reaction of 3-amino-5-ethyl-4-(pent-3-yl)pyrazole with N-(thioacetyl)-2,4-dichlorobenzamide. As CRF antagonists, the compds. are useful in the treatment of neurol. disorders as well as a multitude of other CRF assocd. diseases or conditions. Use for treatment of depression or anxiety is particularly indicated.

IT 300692-66-4P 300692-67-5P 300692-68-6P  
300692-69-7P 300692-70-0P 300692-71-1P  
300692-73-3P 300692-74-4P 300692-75-5P  
300692-76-6P 300692-77-7P 300692-78-8P  
300692-79-9P 300692-80-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn of pyrazolotriazines as CRF antagonists)

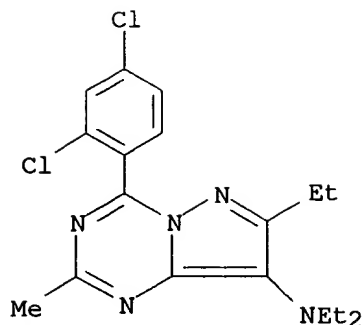
RN 300692-66-4 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2,4-dichlorophenyl)-7-ethyl-8-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)



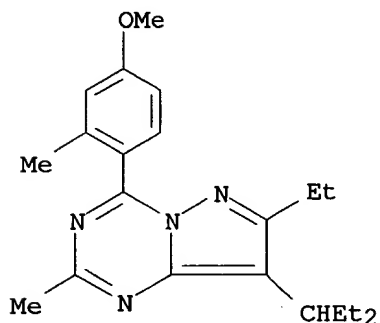
RN 300692-67-5 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazin-8-amine, 4-(2,4-dichlorophenyl)-N,N,7-triethyl-2-methyl- (9CI) (CA INDEX NAME)



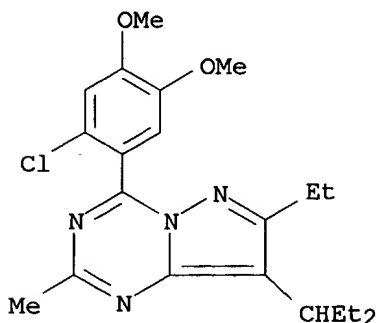
RN 300692-68-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-ethyl-8-(1-ethylpropyl)-4-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)



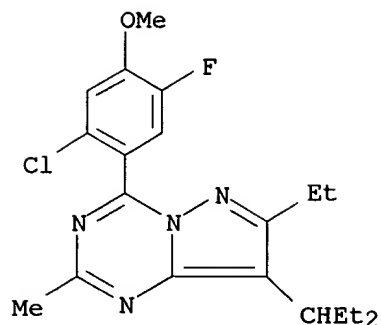
RN 300692-69-7 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-chloro-4,5-dimethoxyphenyl)-7-ethyl-8-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)



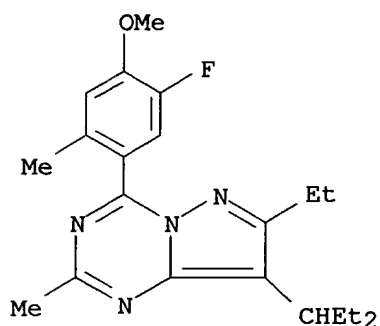
RN 300692-70-0 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-chloro-5-fluoro-4-methoxyphenyl)-7-ethyl-8-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)



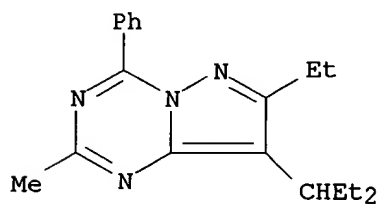
RN 300692-71-1 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-ethyl-8-(1-ethylpropyl)-4-(5-fluoro-4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)



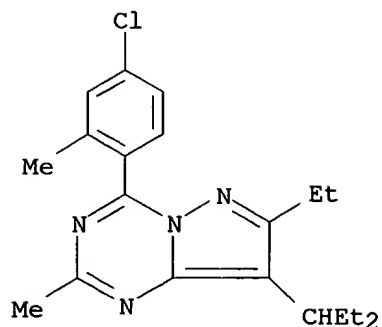
RN 300692-73-3 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-ethyl-8-(1-ethylpropyl)-2-methyl-4-phenyl- (9CI) (CA INDEX NAME)



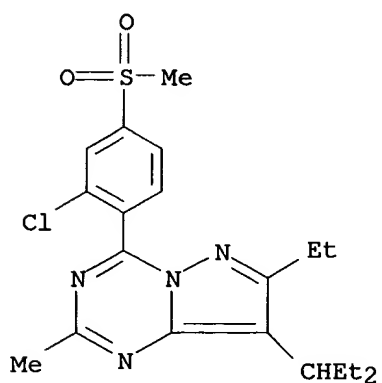
RN 300692-74-4 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(4-chloro-2-methylphenyl)-7-ethyl-8-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)



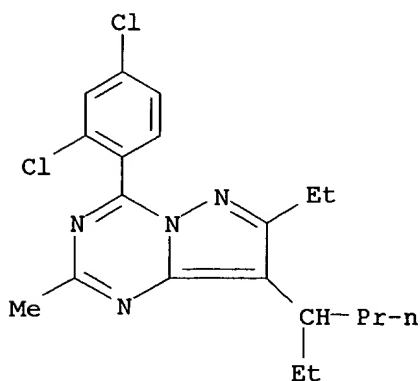
RN 300692-75-5 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-[2-chloro-4-(methylsulfonyl)phenyl]-7-ethyl-8-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)



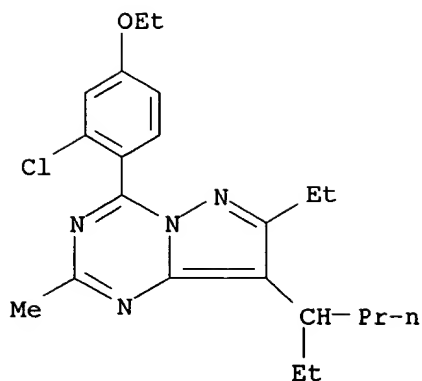
RN 300692-76-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2,4-dichlorophenyl)-7-ethyl-8-(1-ethylbutyl)-2-methyl- (9CI) (CA INDEX NAME)



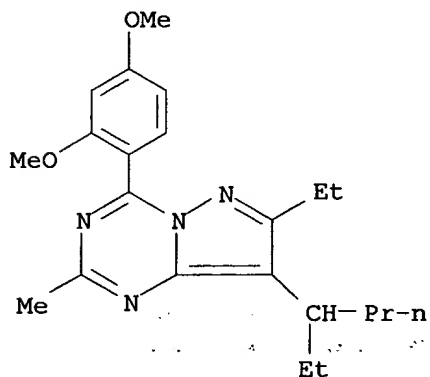
RN 300692-77-7 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-chloro-4-ethoxyphenyl)-7-ethyl-8-(1-ethylbutyl)-2-methyl- (9CI) (CA INDEX NAME)



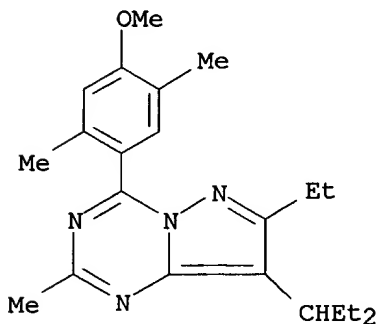
RN 300692-78-8 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2,4-dimethoxyphenyl)-7-ethyl-8-(1-ethylbutyl)-2-methyl- (9CI) (CA INDEX NAME)



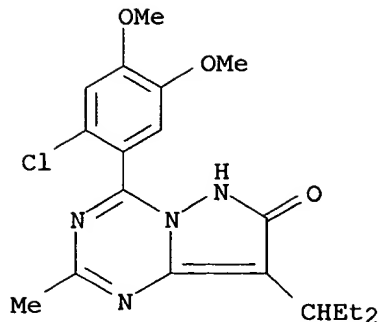
RN 300692-79-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-ethyl-8-(1-ethylpropyl)-4-(4-methoxy-2,5-dimethylphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 300692-80-2 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazin-7(6H)-one, 4-(2-chloro-4,5-dimethoxyphenyl)-8-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)

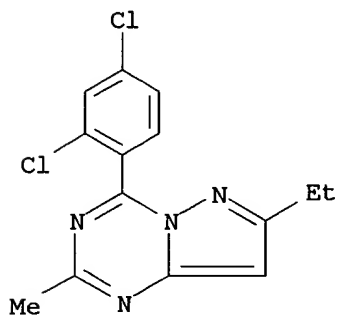


IT 300692-85-7P 300692-86-8P 300692-87-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn of pyrazolotriazines as CRF antagonists)

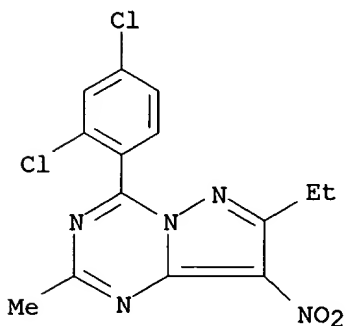
RN 300692-85-7 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2,4-dichlorophenyl)-7-ethyl-2-methyl- (9CI) (CA INDEX NAME)



RN 300692-86-8 HCAPLUS

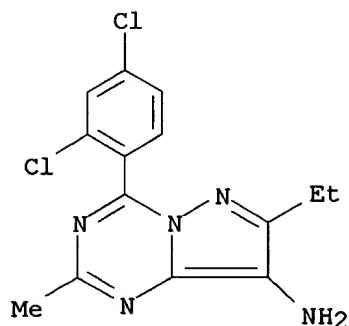
CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2,4-dichlorophenyl)-7-ethyl-2-methyl-8-nitro- (9CI) (CA INDEX NAME)



RN 300692-87-9 HCAPLUS

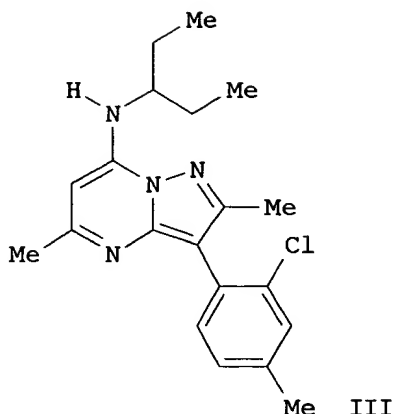
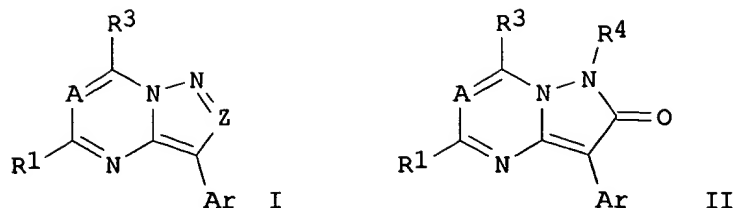
CN Pyrazolo[1,5-a]-1,3,5-triazin-8-amine, 4-(2,4-dichlorophenyl)-7-ethyl-2-

methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
 AN 2000:307132 HCAPLUS  
 DN 132:321873  
 TI Azolo triazines and pyrimidines useful as corticotropin releasing factor (CRF) antagonists  
 IN Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios  
 PA DuPont Pharmaceuticals, USA  
 SO U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 899,242.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6060478	A	20000509	US 1998-15001	19980128
	US 6124289	A	20000926	US 1997-899242	19970723
	ZA 9706603	A	19990125	ZA 1997-6603	19970724
	US 6136809	A	20001024	US 1998-14999	19980128
	LT 4680	B	20000725	LT 1999-8	19990125
	CA 2314613	AA	19990805	CA 1999-2314613	19990128
	WO 9938868	A1	19990805	WO 1999-US1824	19990128
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9924787	A1	19990816	AU 1999-24787	19990128
	EP 1049699	A1	20001108	EP 1999-904382	19990128
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9908206	A	20001205	BR 1999-8206	19990128
	JP 2002501922	T2	20020122	JP 2000-529335	19990128
PRAI	US 1996-23290P	P	19960724		
	US 1997-899242	A2	19970723		
	US 1998-14734	A	19980128		
	US 1998-15001	A	19980128		
	US 1998-15002	A	19980128		
	WO 1999-US1824	W	19990128		
OS	MARPAT 132:321873				
GI					



AB Corticotropin releasing factor (CRF) antagonists (no data) of formulas I and II are disclosed [wherein A = N or CR; Z = N or CR<sub>2</sub>; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, indanyl, tetralinyl, addnl. selected heterocycles; R = H, alk(en/yn)yl, cycloalkyl(alkyl), halo, cyano, haloalkyl; R<sub>1</sub>, R<sub>2</sub> = H, groups listed for R, NH<sub>2</sub> or derivs., OH or derivs., SH or derivs., addnl. substituted alkyls; R<sub>3</sub> = H, OH or derivs., SH or derivs., acyl, CO<sub>2</sub>H or esters, NH<sub>2</sub> or derivs., aryl, heteroaryl, alk(en/yn)yl, etc.; R<sub>4</sub> = (un)substituted alk(en/yn)yl or cycloalkyl(alkyl)]. The compds. are of use in the treatment of CRF-related disorders, particularly anxiety and depression, as well as other psychiatric, neurol., immunol., cardiovascular, and psychopathol. disorders. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-5-methyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl<sub>3</sub> and PhNEt<sub>2</sub> to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compd. III.

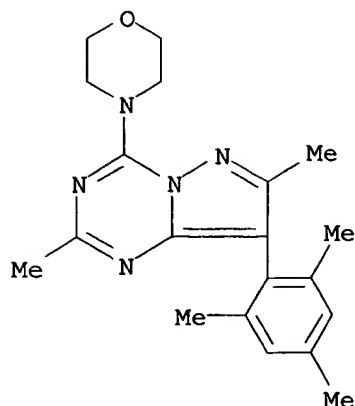
IT 202579-01-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(target compd.; prepn. of azolo-fused triazines and pyrimidines as CRF antagonists)

RN 202579-01-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2,7-dimethyl-4-(4-morpholinyl)-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

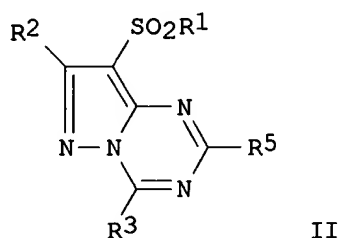
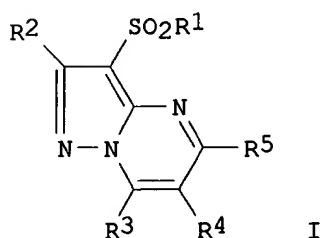




RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
AN 1999:597473 HCAPLUS  
DN 131:214304  
TI Preparation of pyrazolopyrimidines and pyrazolotriazines with 5HT-6  
receptor affinity  
IN Boes, Michael; Riemer, Claus; Stadler, Heinz  
PA F. Hoffmann-La Roche AG, Switz.  
SO Eur. Pat. Appl., 48 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 941994	A1	19990915	EP 1999-102872	19990303
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6194410	B1	20010227	US 1999-256927	19990224
	JP 2000186090	A2	20000704	JP 1999-61149	19990309
	JP 3231288	B2	20011119		
	NO 9901150	A	19990913	NO 1999-1150	19990310
	AU 9920383	A1	19990923	AU 1999-20383	19990311
	CN 1236780	A	19991201	CN 1999-105964	19990311
PRAI	EP 1998-104346	A	19980311		
OS	MARPAT 131:214304				
GI					



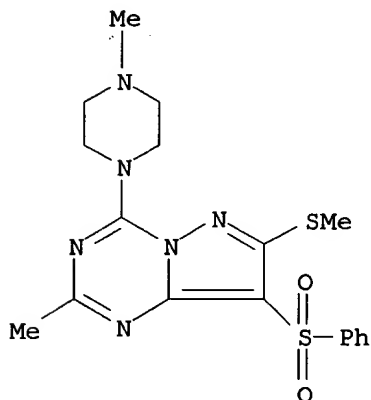
AB The title compds. I and II [R1 = (un)substituted Ph, pyridyl, naphthyl, thienyl; R2 = H, alkyl, alkylthio, etc.; R3 = amino, piperazinyl, etc.; R4 = H, alkyl, hydroxyalkyl; R5 = H, halo, alkyl, cycloalkyl, etc.; R4R5 = (CH2)m with m = 3 or 4, CH2SCH2], having selective affinity to 5HT-6 receptors, were prepd. E.g., 3-benzenesulfonyl-5-methyl-2-methylsulfonylpyrazolo[1,5-a]pyrimidin-7-ylamine was prepd.

IT **243660-87-9P 243660-88-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyrazolopyrimidines and pyrazolotriazines with 5-HT6 receptor affinity)

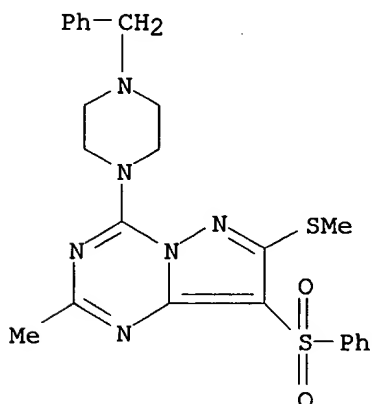
RN 243660-87-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-methyl-4-(4-methyl-1-piperazinyl)-7-(methylthio)-8-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 243660-88-0 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-methyl-7-(methylthio)-4-[4-(phenylmethyl)-1-piperazinyl]-8-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

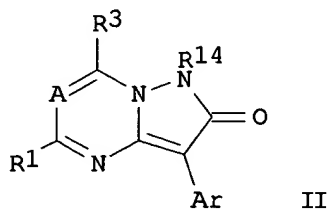
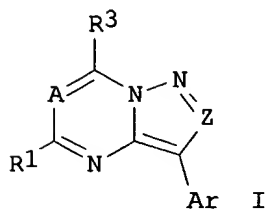


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
 AN 1999:495296 HCAPLUS  
 DN 131:144616  
 TI Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists  
 IN He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios Georgios  
 PA Du Pont Pharmaceuticals Company, USA  
 SO PCT Int. Appl., 245 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9938868	A1	19990805	WO 1999-US1824	19990128
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6060478	A	20000509	US 1998-15001	19980128
	US 6191131	B1	20010220	US 1998-15002	19980128
	US 6313124	B1	20011106	US 1998-14734	19980128
	CA 2314613	AA	19990805	CA 1999-2314613	19990128
	AU 9924787	A1	19990816	AU 1999-24787	19990128
	EP 1049699	A1	20001108	EP 1999-904382	19990128
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9908206	A	20001205	BR 1999-8206	19990128
	JP 2002501922	T2	20020122	JP 2000-529335	19990128
PRAI	US 1998-14734	A	19980128		
	US 1998-15001	A	19980128		
	US 1998-15002	A	19980128		
	US 1996-23290P	P	19960724		
	US 1997-899242	A2	19970723		
	WO 1999-US1824	W	19990128		

GI



AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol.

disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity assocd. with psychopathol. disturbance and stress, were prepd. and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl<sub>3</sub> and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R<sub>1</sub> = Me; R<sub>3</sub> = NHCH(CH<sub>2</sub>OMe)<sub>2</sub>; Ar = 2,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>].

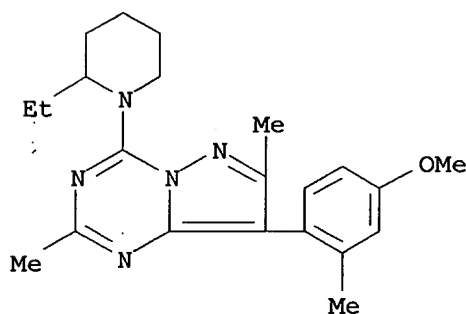
IT 234772-66-8P 234772-86-2P 234773-09-2P  
234773-44-5P 234773-78-5P 234774-00-6P  
234774-23-3P 234774-45-9P 234774-76-6P  
234775-05-4P 234775-25-8P 234775-46-3P  
234775-66-7P 234775-86-1P 234776-07-9P  
234776-27-3P 234776-48-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azolotriazines and -pyrimidines as CRF antagonists for treatment of anxiety, depression, and other psychiatric, neurol. disorders)

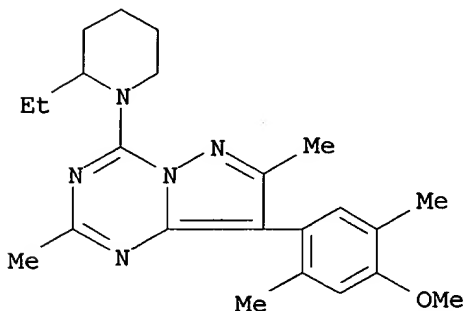
RN 234772-66-8 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-2-methylphenyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



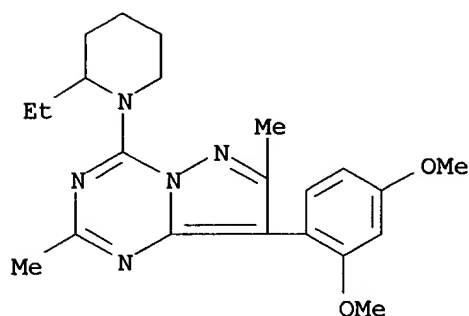
RN 234772-86-2 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-2,5-dimethylphenyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



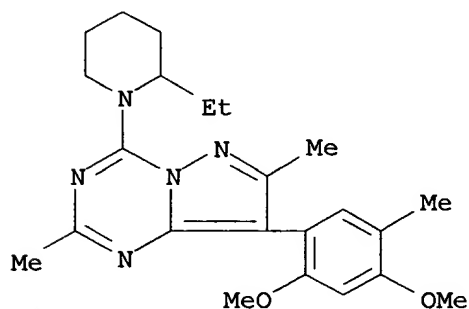
RN 234773-09-2 HCAPLUS

CN    Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,4-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI)    (CA INDEX NAME)



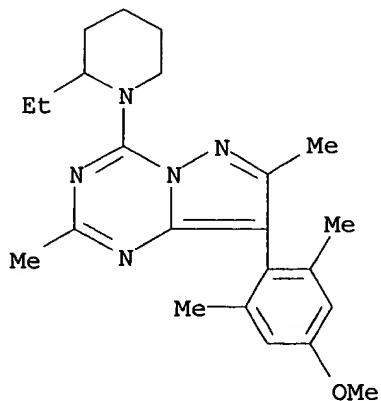
RN    234773-44-5    HCAPLUS

CN    Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,4-dimethoxy-5-methylphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI)    (CA INDEX NAME)



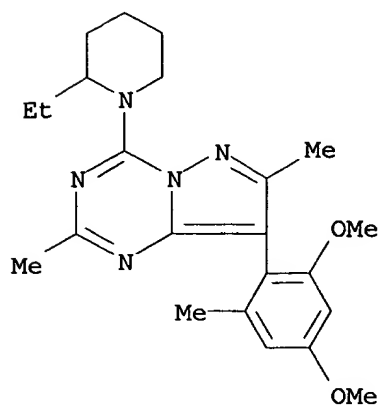
RN    234773-78-5    HCAPLUS

CN    Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-2,6-dimethylphenyl)-2,7-dimethyl- (9CI)    (CA INDEX NAME)



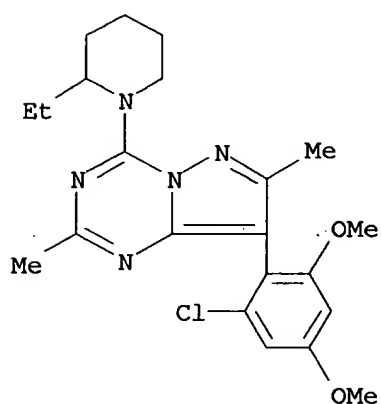
RN    234774-00-6    HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,4-dimethoxy-6-methylphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



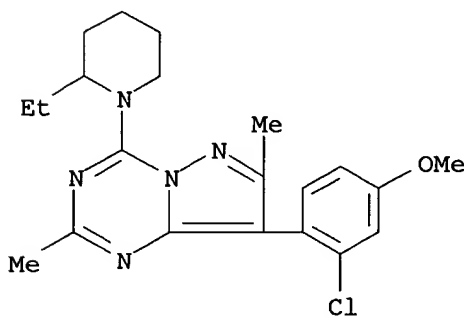
RN 234774-23-3 HCAPLUS

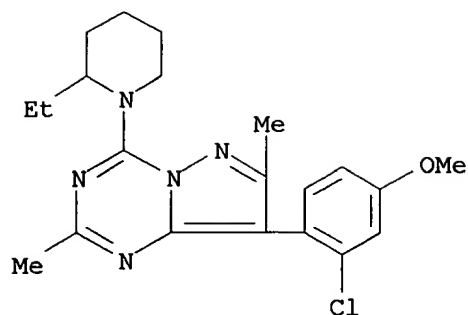
CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-4,6-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



RN 234774-45-9 HCAPLUS

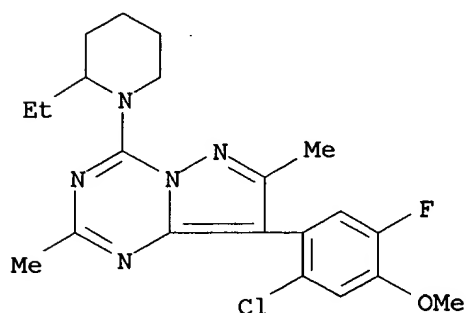
CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-4-methoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)





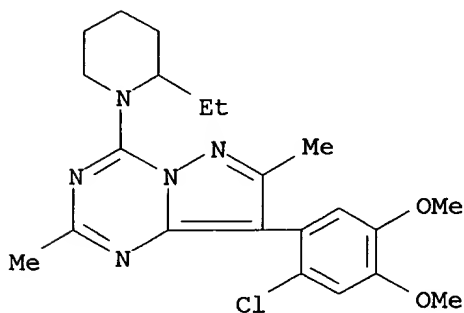
RN 234774-76-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-5-methoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



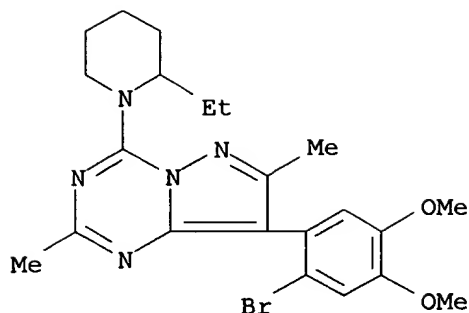
RN 234775-05-4 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-chloro-4,5-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



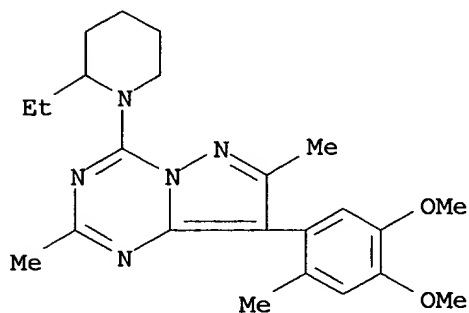
RN 234775-25-8 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2-bromo-4,5-dimethoxyphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



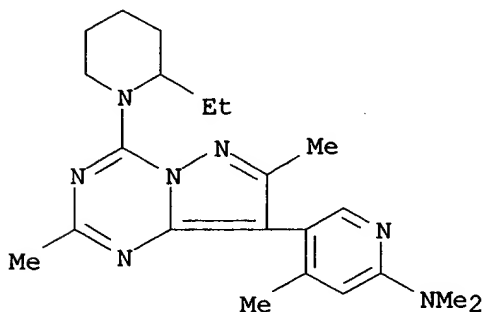
RN 234775-46-3 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(4,5-dimethoxy-2-methylphenyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



RN 234775-66-7 HCAPLUS

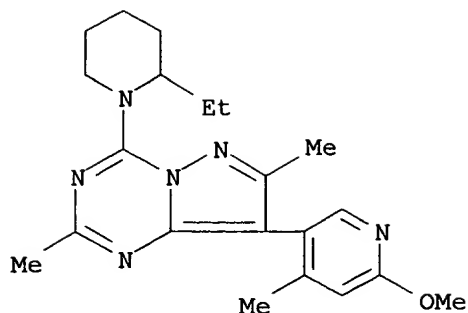
CN 2-Pyridinamine, 5-[4-(2-ethyl-1-piperidinyl)-2,7-dimethylpyrazolo[1,5-a]-1,3,5-triazin-8-yl]-N,N,4-trimethyl- (9CI) (CA INDEX NAME)



RN 234775-86-1 HCAPLUS

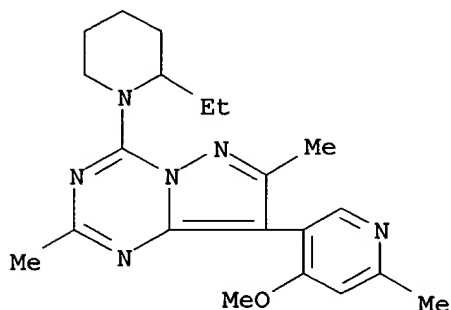
CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(6-methoxy-4-methyl-3-pyridinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)





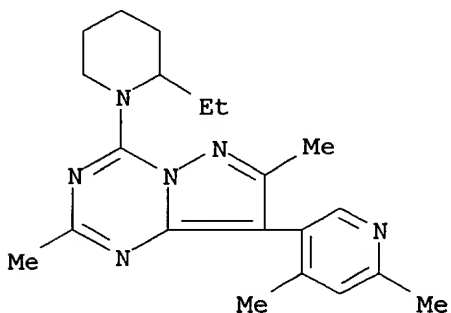
RN 234776-07-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(2-ethyl-1-piperidinyl)-8-(4-methoxy-6-methyl-3-pyridinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



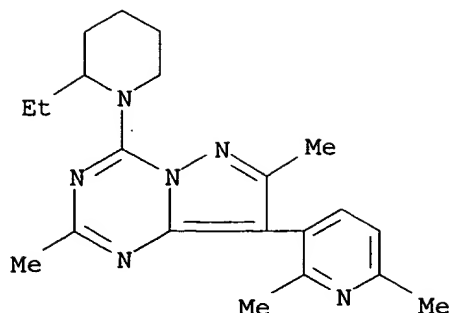
RN 234776-27-3 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(4,6-dimethyl-3-pyridinyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



RN 234776-48-8 HCAPLUS

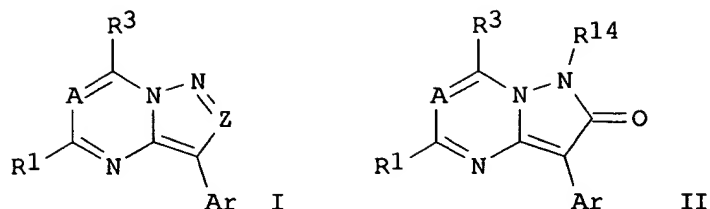
CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-(2,6-dimethyl-3-pyridinyl)-4-(2-ethyl-1-piperidinyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
AN 1998:87733 HCAPLUS  
DN 128:154103  
TI Preparation of azolotriazines and -pyrimidines as corticotropin releasing  
factor (CRF) antagonists  
IN Arvanitis, Argyrios Georgious; Chorvat, Robert John  
PA Du Pont Merck Pharmaceutical Co., USA  
SO PCT Int. Appl., 225 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9803510	A1	19980129	WO 1997-US13072	19970723
	W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2259583	AA	19980129	CA 1997-2259583	19970723
	AU 9738942	A1	19980210	AU 1997-38942	19970723
	EP 915880	A1	19990519	EP 1997-936222	19970723
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	CN 1225637	A	19990811	CN 1997-196525	19970723
	BR 9710544	A	19990817	BR 1997-10544	19970723
	US 6124289	A	20000926	US 1997-899242	19970723
	JP 2002513382	T2	20020508	JP 1998-507233	19970723
	ZA 9706603	A	19990125	ZA 1997-6603	19970724
	LV 12292	B	19991120	LV 1999-13	19990120
	NO 9900264	A	19990310	NO 1999-264	19990121
	LT 4680	B	20000725	LT 1999-8	19990125
	CN 1327793	A	20011226	CN 2001-120849	20010530
PRAI	US 1996-23290P	P	19960724		
	US 1996-686047	A	19960724		
	US 1997-899242	A	19970723		
	WO 1997-US13072	W	19970723		
OS	MARPAT 128:154103				
GI					



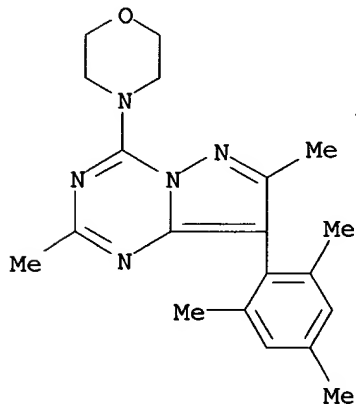
AB The title compds. [I or II; A = N, CR; Z = N, CR<sub>2</sub>; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R<sup>1</sup> = H, C1-4 alkyl, C2-4 alkenyl, etc.; R<sup>2</sup> = H, C1-4 alkyl, C2-4 alkenyl, etc.; R<sup>3</sup> = H, SH, OH, etc.; R<sup>14</sup> = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity assocd. with psychopathol. disturbance and stress, were prepd. and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl) [1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl<sub>3</sub> and N,N-dimethylaniline followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dimethylphenyl) [1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxypropyl-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R<sup>1</sup> = Me; R<sup>3</sup> = NHCH(CH<sub>2</sub>OMe)<sub>2</sub>; Ar = 2,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>].

IT 202579-01-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists.)

RN 202579-01-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2,7-dimethyl-4-(4-morpholinyl)-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2002 ACS

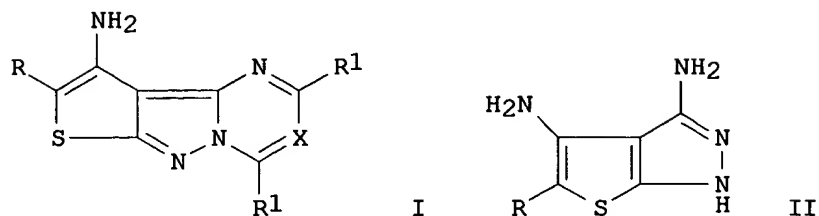
AN 1995:962283 HCAPLUS

DN 124:176031

TI Thieno[2',3':3,4]pyrazolo[1,5-a]pyrimidines and -triazines: synthesis of

the novel ring systems

AU Briel, D.  
 CS Institut Pharmazie, Universitaet Leipzig, Germany  
 SO Pharmazie (1995), 50(10), 675-8  
 CODEN: PHARAT; ISSN: 0031-7144  
 DT Journal  
 LA German  
 OS CASREACT 124:176031  
 GI



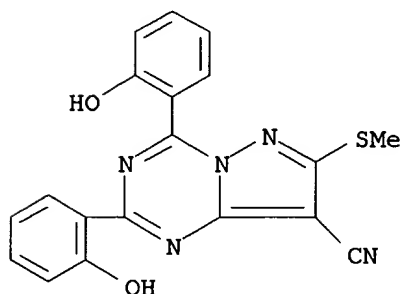
AB The novel tricyclic ring systems I (R = CO<sub>2</sub>Me; R<sub>1</sub> = Me, 2-HOC<sub>6</sub>H<sub>4</sub>, Ph; X = CH, N) were prep'd. by reaction of thienopyrazoles II with 1,3-dielectrophiles, such as acetylacetone, dibenzoylmethane, or 1,2,4-dithiazole. Another synthetic route for the prepn. of the tricyclic systems, based on pyrazoloazines, was investigated. I and II (R = CO<sub>2</sub>Me, COPh) exhibited antiulcer activity.

IT **173597-51-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and antiulcer activity of thienopyrazolopyrimidines and -triazines)

RN 173597-51-8 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine-8-carbonitrile, 2,4-bis(2-hydroxyphenyl)-7-(methylthio)- (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1990:35748 HCAPLUS

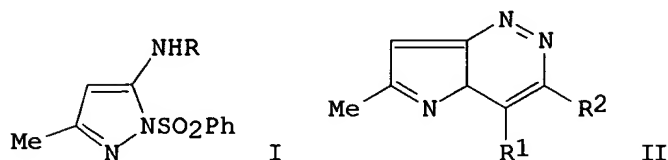
DN 112:35748

TI Studies on amino-azoles: synthesis of 3-methylaminopyrazole derivatives

AU Ramiz, Mahmoud M. M.; Elghandour, Ahmed H. H.; Ibrahim, Mohamed K. A.;  
 Mansour, Omar A. E. R.

CS Fac. Electron. Eng., Menoufia Univ., Menouf, Egypt

SO Arch. Pharm. (Weinheim, Ger.) (1989), 322(9), 557-60  
 CODEN: ARPMAS; ISSN: 0365-6233  
 DT Journal  
 LA English  
 OS CASREACT 112:35748  
 GI

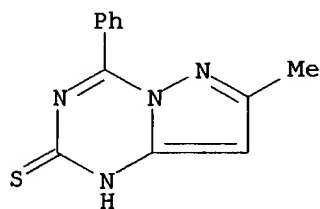


AB Diazotization of amine I (R = H), followed by reaction with R1CH2CN (R1 = Bz, cyano, CO2Et), gave pyrrolopyridazines II (R1 = Ph, R2 = cyano; R1 = NH2, R2 = cyano, CO2Et). Reaction of I (R = H) with R3CONCS (R3 = Ph, 4-ClC6H4, 4-MeOC6H4, OEt, Me, PhCH:CH) gave I (R = CSNHCOR3).

IT **124612-34-6P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 124612-34-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine-2(1H)-thione, 7-methyl-4-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1987:102327 HCAPLUS

DN 106:102327

TI Preparation of 7-phenylpyrazolo-[1,5a]-1,3 triazene derivatives as antiinflammatory agents

IN Kim, Sun Hyuk

PA Biomeasure, Inc., USA

SO Eur. Pat. Appl., 15 pp.  
 CODEN: EPXXDW

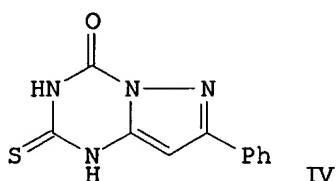
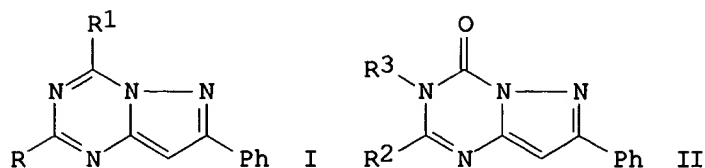
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 207651	A2	19870107	EP 1986-304353	19860606
	EP 207651	A3	19880224		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	CA 1267143	A1	19900327	CA 1986-510870	19860605

JP 62000085	A2	19870106	JP 1986-131657	19860606
ZA 8604251	A	19870225	ZA 1986-4251	19860606
US 4734414	A	19880329	US 1986-907039	19860912
US 4767858	A	19880830	US 1987-50195	19870514
PRAI US 1985-741819		19850606		
US 1986-907039		19860912		
OS CASREACT 106:102327				
GI				



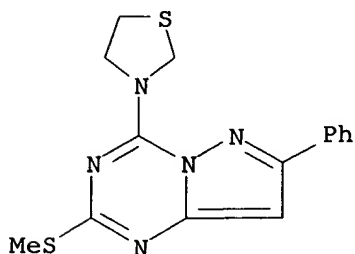
AB The title compds. I (R = thioalkyl; R1 = substituted amino, N-heterocycloalkyl) and II (R2 = thioalkyl, thioaralkyl, thioheteroaralkyl; R3 = H, COO-alkyl, alkyl, allyl, PhCH2), useful as antiinflammatory agents (no data), were prepd. by condensation of I (R1 = leaving group) (III) with R1H or IV with an alkylating agent. A suspension of 150 mg L-methionine in MeOH was treated with 1.2 mL 1M-NaOMe and then 290 mg III (R = MeS; R1 = MeO) to give 300 mg I [R = MeS; R1 = CH3SCH2CH2CH(CO2H)NH].

IT **106926-38-9P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antiinflammatory)

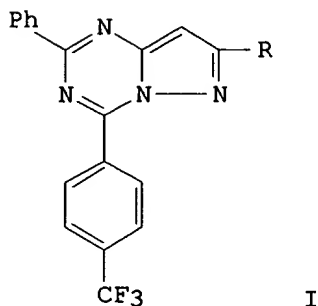
RN 106926-38-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-(methylthio)-7-phenyl-4-(3-thiazolidinyl)- (9CI) (CA INDEX NAME)

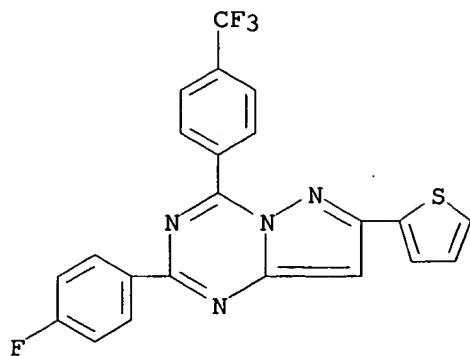


L7 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1985:454038 HCAPLUS  
 DN 103:54038  
 TI New synthesis of 2,4-dialkyl(or diaryl)pyrazolo[1,5-a]-1,3,5-triazines  
 AU Strohmeyer, Timothy W.; Sliskovic, D. Robert; Lang, S. A., Jr.; Lin, Yangi  
 CS Med. Res. Div., Am. Cyanamid Co., Pearl River, NY, 10965, USA  
 SO J. Heterocycl. Chem. (1985), 22(1), 7-10  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 OS CASREACT 103:54038  
 GI

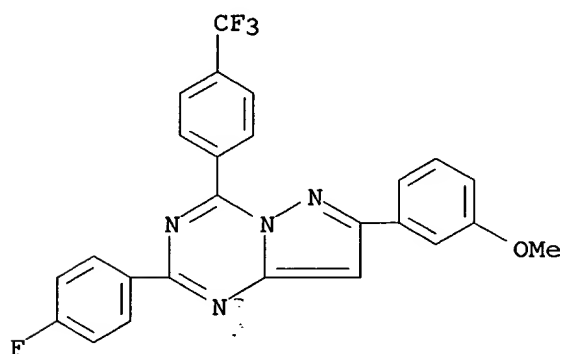


AB Reactions of monothiodiacyclamines or N-arylothioimidates with  
 3-aminopyrazoles gave pyrazolo[1,5-a]-1,3,5-triazines in good yields.  
 E.g., treating 3-aminopyrazole with 4-CF<sub>3</sub>C<sub>6</sub>H<sub>4</sub>CONHC(S)Ph or  
 4-CF<sub>3</sub>C<sub>6</sub>H<sub>4</sub>CON:C(SET)Ph gave pyrazolotriazine I (R = H) in 55 or 65% yield  
 resp. The structure of I (R = Me) was detd. by x-ray anal.  
 IT **96799-05-2P 96799-06-3P 96799-08-5P**  
**96799-09-6P 96799-10-9P 96799-11-0P**  
**96799-12-1P 96799-13-2P 96799-14-3P**  
**96799-15-4P 96799-16-5P 96799-17-6P**  
**96799-18-7P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 96799-05-2 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-(4-fluorophenyl)-7-(2-thienyl)-4-[4-  
 (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



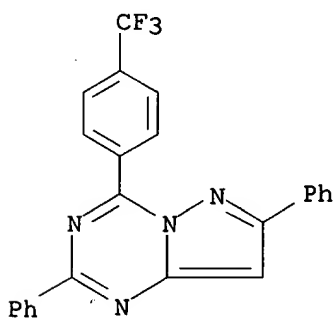
RN 96799-06-3 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-(4-fluorophenyl)-7-(3-methoxyphenyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 96799-08-5 HCAPLUS

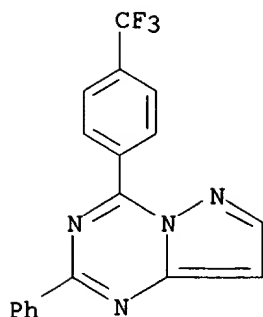
CN Pyrazolo[1,5-a]-1,3,5-triazine, 2,7-diphenyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 96799-09-6 HCAPLUS

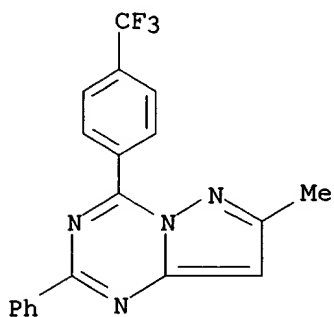
CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-phenyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)





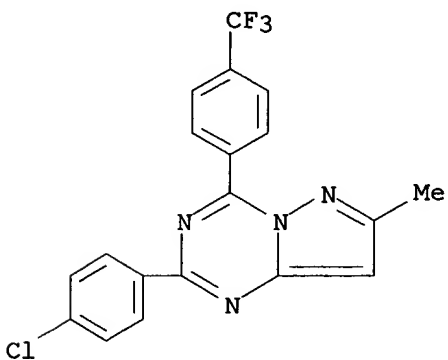
RN 96799-10-9 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-methyl-2-phenyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



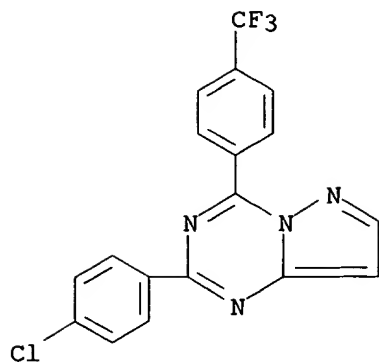
RN 96799-11-0 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-(4-chlorophenyl)-7-methyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



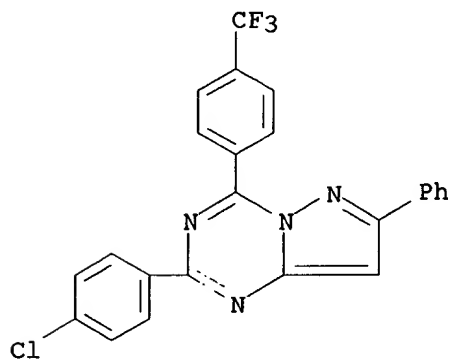
RN 96799-12-1 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-(4-chlorophenyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



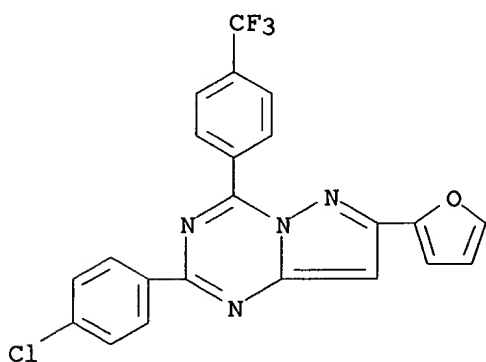
RN 96799-13-2 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-(4-chlorophenyl)-7-phenyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 96799-14-3 HCAPLUS

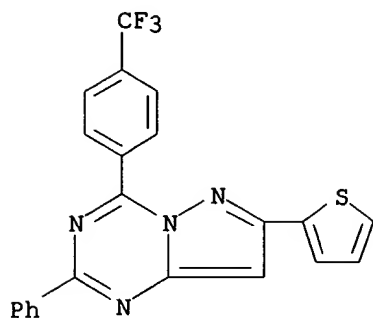
CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-(4-chlorophenyl)-7-(2-furanyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 96799-15-4 HCAPLUS

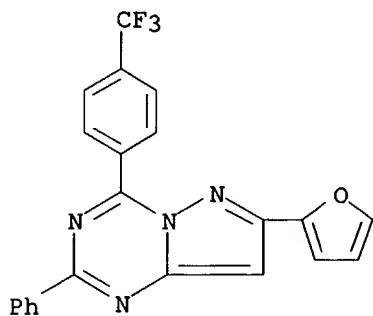
CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-phenyl-7-(2-thienyl)-4-[4-

(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



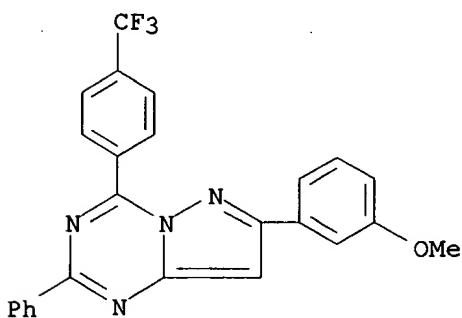
RN 96799-16-5 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-(2-furanyl)-2-phenyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



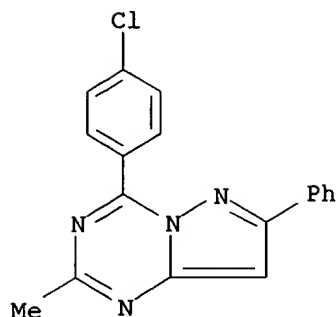
RN 96799-17-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-(3-methoxyphenyl)-2-phenyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

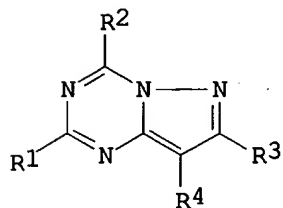


RN 96799-18-7 HCAPLUS

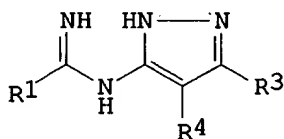
CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(4-chlorophenyl)-2-methyl-7-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
 AN 1982:181036 HCAPLUS  
 DN 96:181036  
 TI Synthesis and enzymic activity of various substituted pyrazolo[1,5-a]-  
 1,3,5-triazines as adenosine cyclic 3',5'-phosphate phosphodiesterase  
 inhibitors  
 AU Senga, Keitaro; O'Brien, Darrell E.; Scholten, Mieka B.; Novinson, Thomas;  
 Miller, Jon P.; Robins, Roland K.  
 CS Viratek, Inc., Covina, CA, 91722, USA  
 SO J. Med. Chem. (1982), 25(3), 243-9  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 GI



I



II

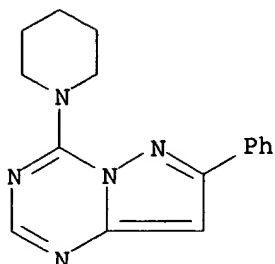
AB I (R1 = H, Me, Et, SMe; R2 = H, Ph, Pr, SMe, NH<sub>2</sub>Et, NHBu, NEt<sub>2</sub> piperidino,  
 OH, NHPr, SH, OCHMe<sub>2</sub>, Me, SEt, OMe, OPr; R3 = Ph, C<sub>6</sub>H<sub>4</sub>OMe-4, H; R4 = H,  
 Br, C<sub>6</sub>H<sub>4</sub>Me-3, Ph, cyano, CO<sub>2</sub>Et, Cl), prep'd. by cyclizing II with (R<sub>2</sub>CO)<sub>2</sub>O  
 or R<sub>2</sub>C(OEt)<sub>3</sub>, followed by electrophilic substitution in the pyrazole ring  
 and/or nucleophilic substitution in the 1,3,5-triazine moiety, were  
 studied as inhibitors of cAMP phosphodiesterase (PDE) isolated from bovine  
 brain, bovine heart, and rabbit lung. A no. of compds. were superior to  
 theophylline. 2-Ethyl-7-phenylpyrazolo[1,5-a]-1,3,5-triazine was 97 times  
 more potent than theophylline as an inhibitor of bovine brain PDE.  
 8-Bromo-2,4-dimethyl-7-phenylpyrazolo[1,5-a]-1,3,5-triazine showed  
 .alpha.lung = 40 compared to .alpha.heart = 3.0. Thus, various  
 substituents could increase or decrease the inhibition relative to the  
 type and source of tissue from which the PDE was isolated. The most  
 active comp'd. was 8-bromo-4-(diethylamino)-7-phenylpyrazolo[1,5-a]-1,3,5-  
 triazine which was 185 times more potent than theophylline as an inhibitor  
 of PDE isolated from rabbit lung. Structure-activity relationships were  
 reviewed.

IT 56060-01-6P 57860-17-0P 57860-29-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and cAMP phosphodiesterase inhibitory activity of)

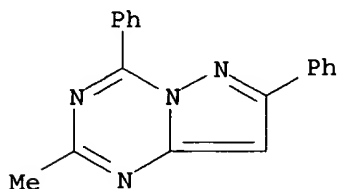
RN 56060-01-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-phenyl-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)



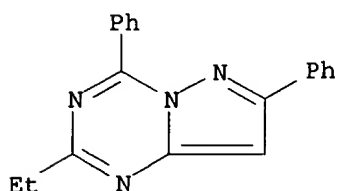
RN 57860-17-0 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-methyl-4,7-diphenyl- (9CI) (CA INDEX NAME)



RN 57860-29-4 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-ethyl-4,7-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1977:453145 HCAPLUS

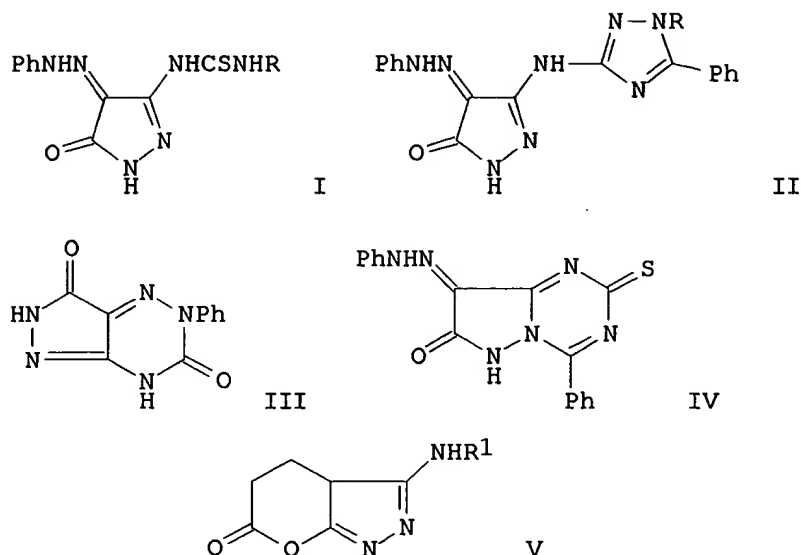
DN 87:53145

TI Pyrimidine derivatives and related compounds, VII. Synthesis of some new pyrazolo[1,5-a]-s-triazines, pyrazolo[3,4-c]-as-triazines, pyrazolo[1,5-a]pyrimidines and pyrazolo[3,4-b]pyrones

AU Elnagdi, Mohamed Hilmy; Zayed, Ezzat Mohamed; Kandeel, Ezzat Mohamed; Fahmy, Sherif Mahmoud

CS Fac. Sci., Cairo Univ., Giza, Egypt

SO Z. Naturforsch., B: Anorg. Chem., Org. Chem. (1977), 32B(4), 430-3  
 CODEN: ZNBAD2  
 DT Journal  
 LA English  
 GI



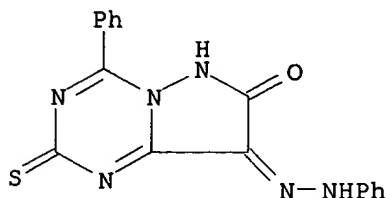
AB 3-Amino-4-phenylhydrazono-2-pyrazolin-5-one reacts with isothiocyanates to yield the corresponding pyrazolylthiourea derivs. I (R = PhCO, Et, Ph). I (R = PhCO) reacted with hydrazines to yield the pyrazolylamino-1,2,4-triazoles II (R1 = H, Ph). It cyclized into the pyrazolo[3,4-e]-as-triazine deriv. III upon treatment with concd. H<sub>2</sub>SO<sub>4</sub>. The pyrazolo[1,5-c]-S-triazine deriv. IV was formed from reaction of with ethanolic NaOEt. 3-Amino-2-pyrazolin-5-one reacted with H<sub>2</sub>C:CHCO<sub>2</sub>Et to give a mixt. of the 4-dialkylated deriv. and the pyrazolo[3,4-b]pyrone, which was converted into the corresponding pyrazolo[3,4-b]pyrones V (R1 = H, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H) by reaction with HOAc-HCl and concd. H<sub>2</sub>SO<sub>4</sub>, resp.

IT **62983-97-5P**

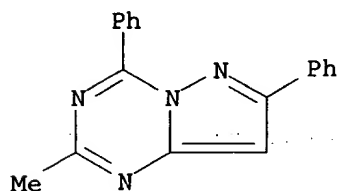
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 62983-97-5 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine-7,8-dione, 2,6-dihydro-4-phenyl-2-thioxo-, 8-(phenylhydrazono) (9CI) (CA INDEX NAME)



L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
 AN 1976:149952 HCAPLUS  
 DN 84:149952  
 TI Mass spectra of substituted pyrazolo[1,5-a]-1,3,5-triazines  
 AU El Khadem, Hassan S.; Foltz, Rodger L.; Novinson, Thomas; Senga, Keitaro  
 CS Dep. Chem. Chem. Eng., Michigan Technol. Univ., Houghton, Mich., USA  
 SO J. Heterocycl. Chem. (1975), 12(6), 1255-63  
 CODEN: JHTCAD  
 DT Journal  
 LA English  
 AB High resolution electron impact mass spectrometric measurements were made on 12 pyrazolo[1,5-a]-1,3,5-triazines. Substituents attached to carbon atoms 2, 4, 7, and 8 were used to label the various fragments. Three major ions were obsd. (a) the mol. ion, (b) an ion corresponding to M-RCN where R is the substituent attached to C-4 and (c) an aryl cyclopropenyl cation which was obsd. in 7-aryl derivs. Intensities and accurate mass-measurements are given for all ions having intensities exceeding 2% of the base peak. Nine of the 12 pyrazolo[1,5-a]-1,3,5-triazines included in this study are described here for the 1st time.  
 IT **57860-17-0**  
 RL: PRP (Properties)  
 (mass spectra of)  
 RN 57860-17-0 HCAPLUS  
 CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-methyl-4,7-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
 AN 1976:44171 HCAPLUS  
 DN 84:44171  
 TI Pyrazolo(1,5-a)-1,3,5-triazines  
 IN O'Brien, Darrell E.; Senga, Keitaro; Novinson, Thomas  
 PA ICN Pharmaceuticals, Inc., USA  
 SO U.S., 14 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3910907	A	19751007	US 1973-377444	19730709
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. I (R = H, Ph; R1 = o-MeC6H4, o-MeOC6H4, Ph, etc; R2 = H, Ph, Et, R3 = Me, Et) were prepd. by cyclization of II with R2C(OEt)3. II were prepd. by treating 3-aminopyrazoles with imidates. I(R = Br) were prepd. by bromination of I(R = H). The 3',5'-cyclic-AMP-phosphodiesterase				

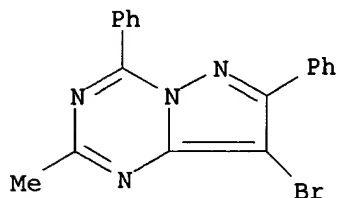
inhibitor activity of I was detd.

IT **57860-36-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and phosphodiesterase inhibiting activity of)

RN 57860-36-3 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-bromo-2-methyl-4,7-diphenyl- (9CI) (CA INDEX NAME)

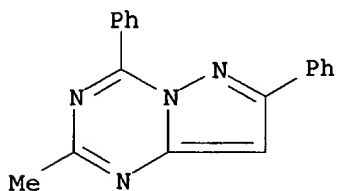


IT **57860-17-0P 57860-29-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

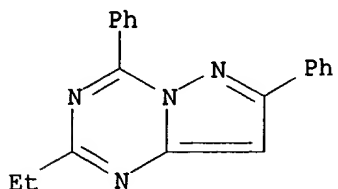
RN 57860-17-0 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-methyl-4,7-diphenyl- (9CI) (CA INDEX NAME)



RN 57860-29-4 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-ethyl-4,7-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1975:443280 HCAPLUS

DN 83:43280

TI New synthesis of pyrazolo[1,5-a]-s-triazines

AU Vogel, Arnold; Troxler, Franz

CS Pharm.-Dep., Sandoz A.-G., Basel, Switz.

SO Helv. Chim. Acta (1975), 58(3), 761-71



CODEN: HCACAV

DT Journal

LA German

GI For diagram(s), see printed CA Issue.

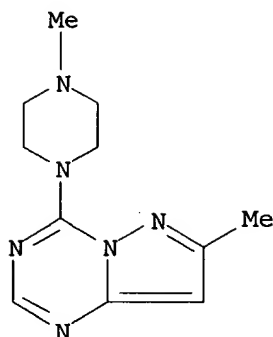
AB Pyrazolo[1,5-a]-s-triazines I and I (R1 = H, Me, R2 = Me, PhNH, MeO, HO HS; R3 = H, CHO) were prepd. by addn. of AcNCO to 5-amino-3-methylpyrazole followed by hydrolysis to give N-(3-methyl-5-pyrazolyl)urea which cyclized with MeC(OEt)3 or by condensation of aminoguanidine with .beta.-oxonitriles to give 1-amidino-5-aminopyrazole which was cyclized by reaction with orthoesters, mixed anhydrides, isocyanides, or carbonyldiimidazole derivs. Reaction of 4-aminopyrazolo[1,5-a]-s-triazines with electrophiles gave substitution at position 8.

IT 55457-12-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 55457-12-0 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-methyl-4-(4-methyl-1-piperazinyl)- (9CI)  
(CA INDEX NAME)



L7 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1975:410163 HCAPLUS

DN 83:10163

TI 2-Aryl-7-substituted pyrazolo[1,5a] 1,3,5-triazines

IN Kobe, Joze; O'Brien, Darrell E.; Robins, Roland K.

PA ICN Pharmaceuticals, Inc.

SO U.S., 7 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3865824	A	19750211	US 1972-232632	19720307

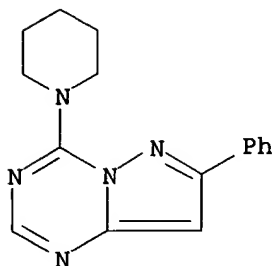
GI For diagram(s), see printed CA Issue.

AB The phosphodiesterase inhibiting pyrazolatriazines I (R = PrNH, piperidino, Et2N, MeS) and the pyrazolotriazine derivs. II were prepd. Thus, 5-amino-1-thiocarbamoyl-3-phenylpyrazole was cyclized with (MeO)2CHO2CMe to give I (R = HS), which was methylated and treated with PrNH2 to give I (R = PrNH2). I (R = Et2N) inhibited 3',5'-cyclic adenosine monophosphate phosphodiesterase isolated from rabbit lung and heart.

IT 56060-01-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and inhibition of cyclic AMP phosphodiesterase)

RN 56060-01-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-phenyl-4-(1-piperidinyl)- (9CI) (CA  
INDEX NAME)

L7 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1975:410160 HCAPLUS

DN 83:10160

TI 4-Aminopyrazolo[1,5-a]-s-triazines

IN Vogel, Arnold; Troxler, Franz

PA Sandoz Ltd.

SO Ger. Offen., 74 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2424334	A1	19741219	DE 1974-2424334	19740518
	CH 581137	A	19761029	CH 1973-7259	19730522
	NL 7406641	A	19741126	NL 1974-6641	19740517
	FI 7401461	A	19741123	FI 1974-1461	19740519
	ES 426482	A1	19760901	ES 1974-426482	19740520
	JP 50019769	A2	19750301	JP 1974-56179	19740521
	DD 113907	C	19750712	DD 1974-178657	19740521
	BE 815405	A1	19741122	BE 1974-144632	19740522
	FR 2230366	A1	19741220	FR 1974-17821	19740522
	AU 7469259	A1	19751127	AU 1974-69259	19740522
	ZA 7403316	A	19760128	ZA 1974-3316	19740522
PRAI	CH 1973-7257		19730522		
	CH 1973-7258		19730522		
	CH 1973-7259		19730522		
	CH 1973-16940		19731204		
	CH 1974-4425		19740329		

GI For diagram(s), see printed CA Issue.

AB About 100 pyrazolotriazines I [R = H, Me, Et, cyclohexyl, or HO; R1 = e.g. NH2, NMe2, N(CH2CH:CH2)2, NHNH2, 2-pyridylamino, 4-methyl-1-piperazinyl, NHCH2CH2OH, NHCH2Ph, 4-piperidinylamino, or C1-4 alkylamino; R2 = H, Me, cyclohexyl, Ph, or Et; R3 = H, Me, Br, Cl, NO2, NH2, NHAc, CH2NMe2, or CHO] and(or) their salts were prepd. and useful as bronchodilators (no data). Thus, I (R = R2 = Me, R1 = HO, R3 = H) was treated successively with POCl3 and MeNH2 to give I (R = R2 = Me, R1 = NHMe, R3 = H). Heating

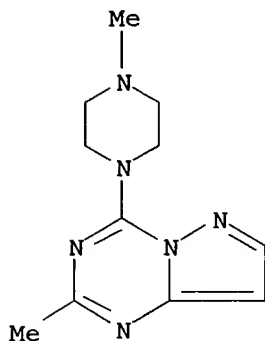
I (R = R2 = Me, R1 = SMe, R3 = H) and 2-aminopyridine at 200.degree. gave  
 I (R = R2 = Me, R1 = 2-pyridylamino, R3 = H). Refluxing  
 5-amino-3-methyl-1-pyrazolecarboxamidine and MeC(OEt)3 in dioxane gave I  
 (R = R2 = Me, R1 = NH2, R3 = H).

IT **55457-19-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and chlorination of)

RN 55457-19-7 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI)  
 (CA INDEX NAME)



IT **55457-06-2P 55457-07-3P 55457-08-4P**

**55457-12-0P 55457-18-6P 55457-28-8P**

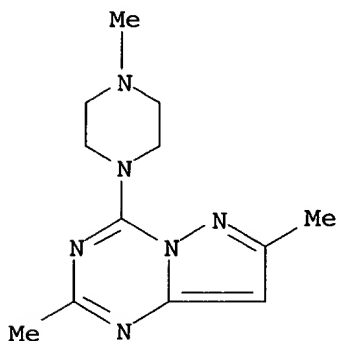
**55457-32-4P 55457-35-7P 55457-70-0P**

**55785-88-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

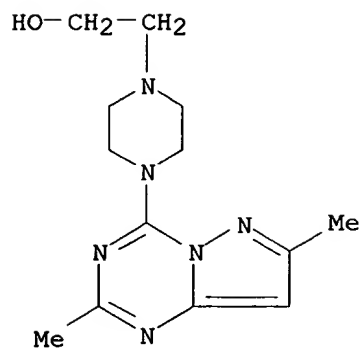
RN 55457-06-2 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2,7-dimethyl-4-(4-methyl-1-piperazinyl)-  
 (9CI) (CA INDEX NAME)



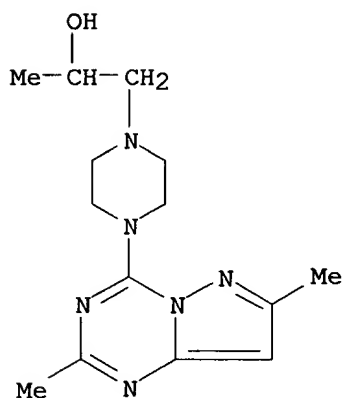
RN 55457-07-3 HCAPLUS

CN 1-Piperazineethanol, 4-(2,7-dimethylpyrazolo[1,5-a]-1,3,5-triazin-4-yl)-  
 (9CI) (CA INDEX NAME)



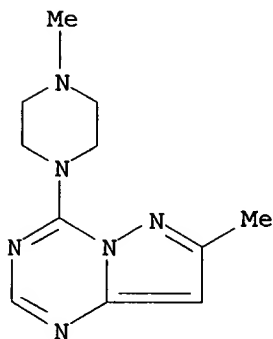
RN 55457-08-4 HCAPLUS

CN 1-Piperazineethanol, 4-(2,7-dimethylpyrazolo[1,5-a]-1,3,5-triazin-4-yl)-  
.alpha.-methyl- (9CI) (CA INDEX NAME)



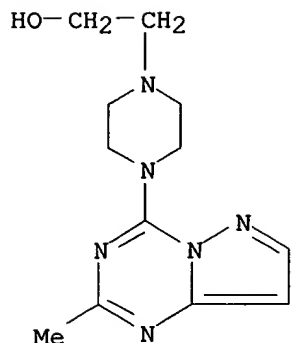
RN 55457-12-0 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 7-methyl-4-(4-methyl-1-piperazinyl)- (9CI)  
(CA INDEX NAME)



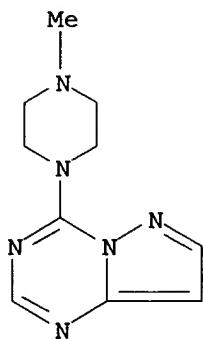
RN 55457-18-6 HCAPLUS

CN 1-Piperazineethanol, 4-(2-methylpyrazolo[1,5-a]-1,3,5-triazin-4-yl)- (9CI)  
(CA INDEX NAME)



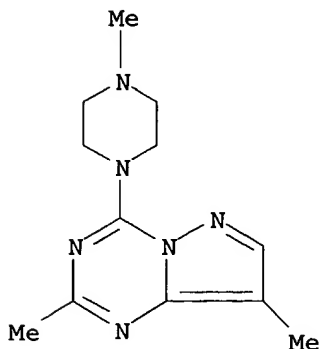
RN 55457-28-8 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



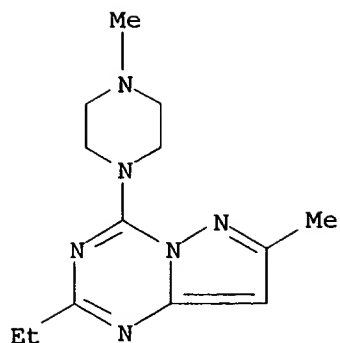
RN 55457-32-4 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2,8-dimethyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



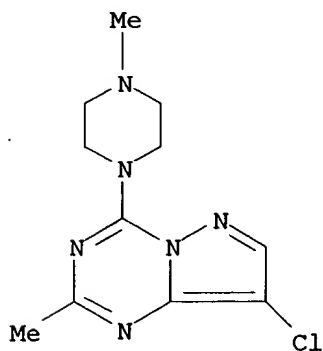
RN 55457-35-7 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 2-ethyl-7-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 55457-70-0 HCAPLUS

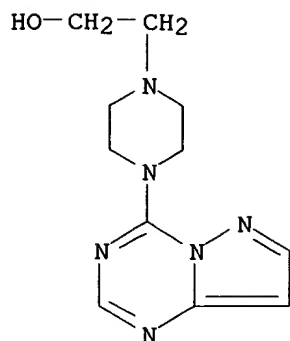
CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-chloro-2-methyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 55785-88-1 HCAPLUS

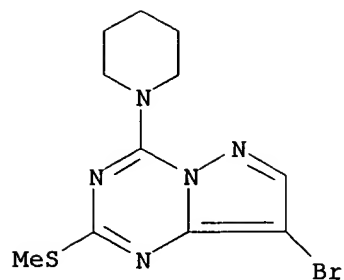
CN 1-Piperazineethanol, 4-pyrazolo[1,5-a]-1,3,5-triazin-4-yl-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

L7 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
 AN 1975:43472 HCAPLUS  
 DN 82:43472  
 TI Pyrazolo(1,5a)1,3,5-triazines  
 IN Kobe, Joze; Springer, Robert H.; O'Brien, Darrell E.  
 PA ICN Pharmaceuticals, Inc.  
 SO U.S., 14 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3846423	A	19741105	US 1972-260850	19720608
GI	For diagram(s), see printed CA Issue.				
AB	Pyrazolotriazines (I, R = OH, alkyl, alkoxy, halogen, SH, alkylthio, aralkylthio, alkylamino, hydrazino; R1 = H, MeS; R2 = H, halogen) (57 compds.) were prepd. Thus, N-carbethoxy-N'-(o-pyrazolyl)thiourea was cyclized in 2N NaOH at room temp. to give I (R = OH, R1 = SH, R2 = H). Treatment of this with MeI in EtOH/NaOH gave I (R = OH, R1 = SMe, R2 = H). I are 3',5'-cyclic AMP phosphodiesterase inhibitors 10-160 times as active as theophylline.				
IT	<b>54408-99-0P 54409-00-6P</b> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and phosphodiesterase inhibition of)				
RN	54408-99-0 HCAPLUS				
CN	Pyrazolo[1,5-a]-1,3,5-triazine, 8-bromo-2-(methylthio)-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)				



RN 54409-00-6 HCAPLUS

CN Pyrazolo[1,5-a]-1,3,5-triazine, 8-bromo-2-(methylthio)-4-(4-morpholinyl)-  
(9CI) (CA INDEX NAME)

